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                 Web Page URLs for STN Seminar Schedule - N. America
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      2
                 "Ask CAS" for self-help around the clock
NEWS
      3 FEB 27
                 New STN AnaVist pricing effective March 1, 2006
NEWS 4 MAY 10
                 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS
      5 MAY 11
                 KOREAPAT updates resume
      6 MAY 19
NEWS
                 Derwent World Patents Index to be reloaded and enhanced
NEWS
      7 MAY 30
                 IPC 8 Rolled-up Core codes added to CA/CAplus and
                 USPATFULL/USPAT2
         MAY 30
NEWS
      8
                 The F-Term thesaurus is now available in CA/CAplus
         JUN 02
NEWS
                 The first reclassification of IPC codes now complete in
                  INPADOC
NEWS 10
         JUN 26
                 TULSA/TULSA2 reloaded and enhanced with new search and
                  and display fields
NEWS 11
         JUN 28
                 Price changes in full-text patent databases EPFULL and
PCTFULL
NEWS 12
        JUl 11
                 CHEMSAFE reloaded and enhanced
NEWS 13
         JU1 14
                 FSTA enhanced with Japanese patents
NEWS 14
         JUl 19
                 Coverage of Research Disclosure reinstated in DWPI
        AUG 09
                 INSPEC enhanced with 1898-1968 archive
NEWS 15
NEWS 16 AUG 28
                 ADISCTI Reloaded and Enhanced
         AUG 30
NEWS 17
                 CA(SM)/CAplus(SM) Austrian patent law changes
         SEP 11
NEWS 18
                 CA/CAplus enhanced with more pre-1907 records
NEWS 19
         SEP 21
                 CA/CAplus fields enhanced with simultaneous left and right
                  truncation
NEWS 20
         SEP 25
                 CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 21
         SEP 25
                 CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 22
         SEP 25
                 CAS REGISTRY(SM) updated with amino acid codes for
pyrrolysine
NEWS 23 SEP 28
                 CEABA-VTB classification code fields reloaded with new
                  classification scheme
```

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 12:46:21 ON 08 OCT 2006

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:46:36 ON 08 OCT 2006
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STRUCTURE FILE UPDATES: 6 OCT 2006 HIGHEST RN 909850-02-8 DICTIONARY FILE UPDATES: 6 OCT 2006 HIGHEST RN 909850-02-8

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=>

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```
chain nodes :
7  8  9  10  11  12  13  15  16  17  18  19
ring nodes :
1  2  3  4  5  6
chain bonds :
1-16  1-17  2-11  4-12  4-13  6-7  7-8  7-18  7-19  8-9  8-10  9-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-10  9-15
exact bonds :
1-16  1-17  2-11  4-12  4-13  6-7  7-8  7-18  7-19
```

# G1:Cy,Ak

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

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L1 STRUCTURE UPLOADED
=> s 11
SAMPLE SEARCH INITIATED 12:46:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 217 TO ITERATE
100.0% PROCESSED 217 ITERATIONS
                                                              20 ANSWERS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                       BATCH **COMPLETE**
PROJECTED ITERATIONS:
                            3457 TO 5223
PROJECTED ANSWERS:
                             132 TO
                                       668
L2
           20 SEA SSS SAM L1
=> s 11 ful
FULL SEARCH INITIATED 12:47:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3896 TO ITERATE
100.0% PROCESSED 3896 ITERATIONS
                                                             424 ANSWERS
SEARCH TIME: 00.00.01
L3
          424 SEA SSS FUL L1
=> s 13 and (process or synthes? or make or made or prepar? or method)
           65 PROCESS
           15 PROCESSES
           80 PROCESS
               (PROCESS OR PROCESSES)
         1963 SYNTHES?
            5 MAKE
            1 MAKES
            6 MAKE
                (MAKE OR MAKES)
           17 MADE
          212 PREPAR?
            6 METHOD
L4
            0 L3 AND (PROCESS OR SYNTHES? OR MAKE OR MADE OR PREPAR? OR
METHOD
=> file caplus
COST IN U.S. DOLLARS
                                            SINCE FILE
                                                               TOTAL
                                                  ENTRY SESSION 197.26 197.47
FULL ESTIMATED COST
FILE 'CAPLUS' ENTERED AT 12:49:25 ON 08 OCT 2006
```

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FILE COVERS 1907 - 8 Oct 2006 VOL 145 ISS 16 FILE LAST UPDATED: 6 Oct 2006 (20061006/ED)

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http://www.cas.org/infopolicy.html

=> s 13 L5 185 L3

=> s 14 and (process or synthes? or make or made or prepar? or method)

0 L4

2318870 PROCESS

1574126 PROCESSES

3460959 PROCESS

(PROCESS OR PROCESSES)

1566172 SYNTHES?

242678 MAKE

187983 MAKES

417542 MAKE

(MAKE OR MAKES)

1235940 MADE

26 MADES

1235961 MADE

(MADE OR MADES)

1689808 PREPAR?

125915 PREP

2217 PREPS

127926 PREP

(PREP OR PREPS)

2037273 PREPD

17 PREPDS

2037285 PREPD

(PREPD OR PREPDS)

129537 PREPG

12 PREPGS

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129548 PREPG
                (PREPG OR PREPGS)
      2748282 PREPN
       205962 PREPNS
      2903633 PREPN
                (PREPN OR PREPNS)
       4822113 PREPAR?
                (PREPAR? OR PREP OR PREPD OR PREPG OR PREPN)
       3220224 METHOD
      1308698 METHODS
       4.160145 METHOD
              (METHOD OR METHODS)
L6
         0 L4 AND (PROCESS OR SYNTHES? OR MAKE OR MADE OR PREPAR? OR
METHOD
              )
=> s 15 and (process or synthes? or make or made or prepar? or method)
      2318870 PROCESS
      1574126 PROCESSES
      3460959 PROCESS
                (PROCESS OR PROCESSES)
      1566172 SYNTHES?
       242678 MAKE
       187983 MAKES
       417542 MAKE
               (MAKE OR MAKES)
      1235940 MADE
           26 MADES
      1235961 MADE
                (MADE OR MADES)
      1689808 PREPAR?
       125915 PREP
         2217 PREPS
       127926 PREP
               (PREP OR PREPS)
      2037273 PREPD
           17 PREPDS
      2037285 PREPD
                 (PREPD OR PREPDS)
       129537 PREPG
           12 PREPGS
       129548 PREPG
                (PREPG OR PREPGS)
      2748282 PREPN
       205962 PREPNS
      2903633 PREPN
             (PREPN OR PREPNS)
       4822113 PREPAR?
                (PREPAR? OR PREP OR PREPD OR PREPG OR PREPN)
      3220224 METHOD
      1308698 METHODS
      4160145 METHOD
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(METHOD OR METHODS)
L7
           180 L5 AND (PROCESS OR SYNTHES? OR MAKE OR MADE OR PREPAR? OR
METHOD
=> s 17 and catalyst
        737107 CATALYST
        739685 CATALYSTS
        946271 CATALYST
                 (CATALYST OR CATALYSTS)
L8
            29 L7 AND CATALYST
=> s 17 and phase transfer catalyst or phase transition catalyst
       1706315 PHASE
        356162 PHASES
       1856205 PHASE .
                  (PHASE OR PHASES)
        789993 TRANSFER
         26222 TRANSFERS
        802718 TRANSFER
                  (TRANSFER OR TRANSFERS)
        737107 CATALYST
        739685 CATALYSTS
        946271 CATALYST
                  (CATALYST OR CATALYSTS)
          7933 PHASE TRANSFER CATALYST
                 (PHASE (W) TRANSFER (W) CATALYST)
       1706315 PHASE
        356162 PHASES
       1856205 PHASE
                  (PHASE OR PHASES)
        952386 TRANSITION
        257920 TRANSITIONS
       1063968 TRANSITION
                  (TRANSITION OR TRANSITIONS)
        737107 CATALYST
        739685 CATALYSTS
        946271 CATALYST
                  (CATALYST OR CATALYSTS)
            61 PHASE TRANSITION CATALYST
                 (PHASE (W) TRANSITION (W) CATALYST)
L9
            64 L7 AND PHASE TRANSFER CATALYST OR PHASE TRANSITION CATALYST
=> dup rem 19 18
PROCESSING COMPLETED FOR L9
PROCESSING COMPLETED FOR L8
             90 DUP REM L9 L8 (3 DUPLICATES REMOVED)
=> d 110 ibib hitstr abs 1-90
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L10 ANSWER 2 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:296026 CAPLUS

DOCUMENT NUMBER: 144:331255

TITLE: Process for the preparation of

pyrrole derivative atorvastatin

INVENTOR(S): Joshi, Narendra Shriram; Bhirud, Shekhar Bhaskar;

Damle, Subhash Vishwanath

PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.			KIND DATE			APPLICATION NO.						DATE				
. WO	WO 2006032959			A2 20060330			Ī	WO 2	005-	IB23	 48		20050805				
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝÀ,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW							•						
•	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
PRIORITY	APP:	LN.	INFO	.:					1	US 2	004-	5993	82P		P 2	0040	806

US 2004-599383P

20040806

OTHER SOURCE(S): CASREACT 144:331255; MARPAT 144:331255

IT 125995-13-3

RL: RCT (Reactant); RACT (Reactant or reagent) (process for preparation of atorvastatin)

RN 125995-13-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Absolute stereochemistry.

AB The invention relates to a process for the preparation of pyrroles or their pharmaceutically-acceptable salts which involves reacting an amino compound H2NCH2CH2CH(OR)CH2CH(OR)CH2CO2R1 (each R is independently H or a hydrolyzable protecting group or combine to form a hydrolyzable cyclic protecting group; R1 is H, alkyl or a cation) with a

diketone R2COCHR3CHR4COR5 [R2 is 1- or 2-naphthyl, cycloalkyl, norbornenyl, (un)substituted aryl, benzyl, 2-, 3-, or 4-pyridinyl or N-oxide; R3, R4 are independently H, alkyl, cycloalkyl, (un)substituted aryl, cyano, trifluoromethyl or carbamoyl; R5 is alkyl, cycloalkyl or trifluoromethyl] in the presence of a catalyst in at least one solvent. An example describes the synthesis of atorvastatin calcium salt by treating (4R-cis)-1,1-dimethylethyl

6-(2-aminoethyl)-2,2dimethyl-1,3-dioxane-4-acetate with 2-[1-phenyl-2-(4-fluorophenyl)-2oxoethyl]-4-methyl-N-phenyl-3-oxo pentanamide in heptane/THF/toluene in the presence of heptanoic acid, followed by hydrolysis using indion 525 resin.

L10 ANSWER 3 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:13869 CAPLUS

DOCUMENT NUMBER: 144:108142

TITLE: Chemoselective catalytic oxidative processes

to produce aldehyde group-containing intermediates

for

rosuvastatin preparation

INVENTOR(S): Gudipati, Srinivasulu; Katkam, Srinivas; Sagyam,

Rajeshwar Reddy; Kudavalli, Jaya Satyanaraya

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 4 pp.

CODEN: USXXCO

OCUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006004200	A1	20060105	US 2005-157552	20050621
PRIORITY APPLN. INFO.:			US 2004-581480P P	20040621

OTHER SOURCE(S): CASREACT 144:108142

IT 124655-09-0

)

RL: RCT (Reactant); RACT (Reactant or reagent) (chemoselective catalytic oxidative processes to produce aldehyde group-containing intermediates for rosuvastatin preparation

RN 124655-09-0 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Intermediate compds. [e.g., tert-Bu 2-[(4R,6S)-6-formyl-2,2-dimethyl-1,3-

dioxan-4-yl]acetate] for preparing rosuvastatin are prepd
. by a process comprising chemoselectively oxidizing
hydroxymethyl groups [e.g., tert-Bu (4R-cis)-6-(hydroxymethyl)-2,2dimethyl-1,3-dioxane-4-acetate] into aldehyde groups using sodium
hypochlorite as the oxidant and 2,2,6,6-tetramethylpiperidinyloxy free

radical as a catalyst.

L10 ANSWER 11 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:965237 CAPLUS DOCUMENT NUMBER: 141:410757 TITLE: Process for the preparation of (4-hydroxy-6-oxo-tetrahydropyran-2-yl)acetonitrile and derivatives thereof INVENTOR(S): Mink, Daniel; Wolberg, Michael; Boesten, Wilhelmus Hubertus Joseph; Sereinig, Natascha PATENT. ASSIGNEE(S): DSM IP Assets B.V., Neth. SOURCE: PCT Int. Appl., 25 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: KIND PATENT NO. DATE APPLICATION NO. DATE -----\_\_\_\_ WO 2004096788 Α1 20041111 WO 2004-NL284 20040428 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR; CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004234308 Α1 20041111 AU 2004-234308 20040428 CA 2524457 AΑ 20041111 CA 2004-2524457 20040428 EP 1620423 A1 20060201 EP 2004-730128 20040428 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK BR 2004009866 20060516 Α BR 2004-9866 20040428 CN 1780826 Α 20060531 CN 2004-80011792 20040428 NO 2005005694 Α 20060202 NO 2005-5694 20051201 PRIORITY APPLN. INFO.: EP 2003-101227 A 20030502

OTHER SOURCE(S): CASREACT 141:410757; MARPAT 141:410757 IT 714963-28-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

WO 2004-NL284

W 20040428

(Reactant or reagent)

RN 714963-28-7 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, methyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 125971-94-0P 791115-50-9P, [6-(Cyanomethyl)-2,2-dimethyl1,3-dioxan-4-yl]acetic acid methyl ester 791115-51-0P,
[6-(Cyanomethyl)-2,2-dimethyl-1,3-dioxan-4-yl]acetic acid ethyl ester
791115-53-2P, [6-(Cyanomethyl)-2,2-dimethyl-1,3-dioxan-4-yl]acetic
acid isopropyl ester 791115-54-3P, [6-(Cyanomethyl)-2,2-dimethyl1,3-dioxan-4-yl]acetic acid propyl ester 791115-55-4P,
[6-(2-Aminoethyl)-2,2-dimethyl-1,3-dioxan-4-yl]acetic acid methyl ester
791115-56-5P, [6-(2-Aminoethyl)-2,2-dimethyl-1,3-dioxan-4yl]acetic acid ethyl ester 791115-57-6P, [6-(2-Aminoethyl)-2,2dimethyl-1,3-dioxan-4-yl]acetic acid isopropyl ester 791115-58-7P
, [6-(2-Aminoethyl)-2,2-dimethyl-1,3-dioxan-4-yl]acetic acid propyl
ester

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of (4-hydroxy-6-oxotetrahydropyran-2-yl)acetonitrile and derivs. thereof)

RN 125971-94-0 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 791115-50-9 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \hline \text{O} & \text{O} \\ \text{O} & \text{CH}_2 - \text{C-OMe} \\ \end{array}$$

RN 791115-51-0 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 791115-53-2 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 791115-54-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, propyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \hline \text{O} & \text{O} \\ \text{O} & \text{O} \\ \text{NC-CH}_2 & \text{CH}_2 - \text{C-OPr-n} \end{array}$$

RN 791115-55-4 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \hline \\ \text{O} & \text{O} \\ \text{O} & \text{CH}_2-\text{C}-\text{OMe} \\ \end{array}$$

RN 791115-56-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 791115-57-6 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, 1-methylethyl

ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \hline \\ \text{O} & \text{O} \\ \text{O} & \text{CH}_2-\text{C-OPr-i} \\ \end{array}$$

RN 791115-58-7 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, propyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \hline \\ \text{Me} & \text{O} \\ \hline \\ \text{O} & \text{O} \\ \text{O} & \text{O} \\ \\ \text{CH}_2-\text{C}-\text{OPr-n} \\ \end{array}$$

GI

AB The invention relates to a process for the preparation of (4-hydroxy-6-oxotetrahydropyran-2-yl)acetonitrile (I) from 6-X-substituted-methyl-4-hydroxytetrahydro-pyran-2-one II [X = leaving group], by reacting

6-X-substituted-methyl-4-hydroxy-tetrahydro-pyran-2-

one with a cyanide ion (-CN) in water and by subsequent lowering of the pH  $\cdot$ 

to a pH between 0 and 5. (4-hydroxy-6-oxo-tetrahydro-pyran-2-yl)acetonitrile and other compds. obtainable from (4-hydroxy-6-oxo-tetrahydro-pyran-2-yl)acetonitrile may suitably be used in the preparation of a pharmaceutical preparation, more in particular in the preparation of statins, more in particular in the preparation of Atorvastatine or a salt thereof, for instance its calcium salt.

The

invention also relates to (4-hydroxy-6-oxo-tetrahydro-pyran-2-yl) acetonitrile and other compds. obtainable there from, such as atorvastatin. Thus,.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 12 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:95663 CAPLUS

DOCUMENT NUMBER:

140:146148

TITLE:

Improved preparation of optically active

6-sulfonyloxymethyl-1,3-dioxane-4-ylacetates as intermediates for HMG CoA reductase inhibitors

INVENTOR(S):

Kishimoto, Shigeki; Nishiyama, Akira; Nagashima,

Nobuo; Inoue, Kenji

PATENT ASSIGNEE(S):

Kanegafuchi Chemical Industry Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 20 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004035514 WO 2004011420	A2 A1	20040205 20040205	JP 2002-198020 WO 2003-JP8572	20020705 20030707

W: CA, IN, US

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: JP 2002-198020 A 20020705

OTHER SOURCE(S):

MARPAT 140:146148

ΙT 136006-37-6P

> RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(optically active; preparation of optically active

(sulfonyloxymethyl)dioxanylacetates as intermediates for HMG CoA reductase inhibitors)

RN 136006-37-6 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-0-(1-methylethylidene)-,

1,1-dimethylethyl ester, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

Optically active title compds. I [R1, R2 = (un) substituted C1-12 alkyl, AB (un) substituted C6-12 aryl, (un) substituted C7-12 aralkyl; R3, R4 = H, C1-12 alkyl, C6-12 aryl, C7-12 aralkyl; R3R4 may form ring] are prepared by sulfonylation of optically active HOCH2CH(OH)CH2COCH2CO2R1 (R1 = same as above) in the presence of bases, stereoselective reduction of the resulting optically active R2SO3CH2CH(OH)CH2COCH2CO2R1 (R1, R2 = same as above), and acetalization in

the presence of acid catalysts. Thus, tert-Bu

(5S)-5,6-dihydroxy-3-oxohexanoate was tosylated and hydrogenated with NaBH4 in the presence of Et2BOMe to give tert-Bu (3R, 5S) - 3, 5 - dihydroxy - 6 -

[(p-methylphenyl)sulfonyloxy]hexanoate. Cyclization of the product in the

presence of pyridinium p-toluenesulfonate gave the corresponding dioxane

derivative

L10 ANSWER 13 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

2004:1009717 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:425576

TITLE: Asymmetric hydrogenation catalyst

INVENTOR(S): Proctor, Lee David; Warr, Antony John; Lathom,

Elliot

James

PATENT ASSIGNEE(S): Phoenix Chemicals Limited, UK SOURCE: Brit. UK Pat. Appl., 18 pp.

CODEN: BAXXDU

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D 1	DATE		i	APPL	ICAT:	ION 1	NO.		Dž	ATE	
GB 2401	864			A1	-	2004:	1124		GB 2	003-:	1165	: B		2	0030	521
AU 2004	2411	83		<b>A</b> 1		2004	1202	1	AU 2	004-	2411	83		2	0040	426
CA 2526	497			AA		2004	1202		CA 2	004-	2526	497		2	0040	426
WO 2004	1035	60		A1		2004	1202	1	WO 2	004-0	GB17	55		2	0040	426
W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
										EC,						
			GM,							JP,						
	LK,	LR,	LS,							MK,						
										SC,						
		•		•						UZ,						
RW:	BW,	•	•	•						SL,	-					
	AZ,	BY,					-			BE,						
										LU,						
										GA,						
		TD,		•	•	•	•	•	•		•		·	·	,	•
EP 1628	762	•		A1		2006	0301		EP 2	004-	7294	54		2	0040	426

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK PRIORITY APPLN. INFO.:

GB 2003-11658

GB 2003-11658 A 20030521

WO 2004-GB1755 W 20040426

OTHER SOURCE(S): CASREACT 141:425576

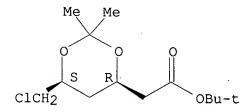
IT 154026-94-5P

RL: IMF (Industrial manufacture); PREP (Preparation) (asym. hydrogenation catalyst)

RN 154026-94-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(chloromethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



AB The present invention concerns a catalytic composition comprising a catalyst effective for catalyzing asym. hydrogenation reactions, which catalyst requires acid activation, an acidic material effective for activating the catalyst, and a buffering compound or composition capable of forming, in the presence of the acidic

material, an acetal, a hemiacetal, and/or a hemiketal. The invention also relates to an asym. hydrogenation process utilizing such a catalytic composition, and to the use of such a catalytic composition for improving

the enantiomeric excess of a desired asym. hydrogenated product. REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

L10 ANSWER 14 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:356390 CAPLUS

DOCUMENT NUMBER:

141:88974

TITLE:

Development of an efficient, scalable,

aldolase-catalyzed process for

enantioselective synthesis of statin

intermediates

AUTHOR(S):

Greenberg, William A.; Varvak, Alexander; Hanson, Sarah R.; Wong, Kelvin; Huang, Hongjun; Chen, Pei;

Burk, Mark J.

CORPORATE SOURCE:

Diversa Corporation, San Diego, CA, 92121, USA

SOURCE:

Proceedings of the National Academy of Sciences of

the

RN

United States of America (2004), 101(16), 5788-5793

CODEN: PNASA6; ISSN: 0027-8424

PUBLISHER:

National Academy of Sciences

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 141:88974

IT 714963-28-7P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(development of efficient scalable aldolase-catalyzed process for enantioselective synthesis of statin intermediates)

714963-28-7 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, methyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB A process is reported for efficient, enantioselective production of key intermediates, e.g. hexanoic acid I, for the common chiral side chain

of statin-type cholesterol-lowering drugs such as Lipitor (atorvastatin)

and Crestor (rosuvastatin). The process features a one-pot tandem aldol reaction catalyzed by a deoxyribose-5-phosphate aldolase (DERA) to form a 6-carbon intermediate with installation of two stereogenic centers from 2-carbon starting materials. An improvement

of

almost 400-fold in volumetric productivity relative to the published enzymic reaction conditions has been achieved, resulting in a com. attractive process that has been run on up to a 100-g scale in a single batch at a rate of 30.6 g/L per h. Catalyst load has been improved by 10-fold as well, from 20 to 2.0 wt % DERA. These improvements were achieved by a combination of discovery from

environmental DNA of DERAs with improved activity and reaction optimization to overcome substrate inhibition. The two stereogenic centers are set by DERA with enantiomeric excess at >99.9% and diastereomeric excess at 96.6%. In addition, down-stream chemical steps have

been developed to convert the enzymic product efficiently to versatile intermediates applicable to preparation of atorvastatin and rosuvastatin.

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

L10 ANSWER 15 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:153542 CAPLUS

DOCUMENT NUMBER: 140:357089

TITLE: Sequential aldol condensation catalyzed by DERA

mutant

Ser238Asp and a formal total synthesis of

atorvastatin

AUTHOR(S): Liu, Junjie; Hsu, Che-Chang; Wong, Chi-Huey

CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute

for

Chemical Biology, The Scripps Research Institute,

La

Jolla, CA, 92037, USA

SOURCE: Tetrahedron Letters (2004), 45(11), 2439-2441

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:357089

IT 682356-86-1P 682356-88-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(synthesis of atorvastatin)

RN 682356-86-1 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-azidoethyl)-2,2-dimethyl-, methyl ester,

(4R, 6R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 682356-88-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-azidoethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 125995-13-3P 676260-66-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of atorvastatin)

RN 125995-13-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 676260-66-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, methyl ester,

(4R, 6R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB A mutant d-2-deoxyribose-5-phosphate aldolase (DERA), Ser238Asp, was used

to prepare  $\beta\text{-hydroxy-}\delta\text{-lactol}$  synthons and a key intermediate for atorvastatin synthesis.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

L10 ANSWER 16 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:288770 CAPLUS

DOCUMENT NUMBER: 141:6961

DOCUMENT NUMBER: 141:6961

TITLE: Strategy for enantio- and diastereoselective syntheses of all possible stereoisomers of 1,3-polyol arrays based on a highly catalyst -controlled epoxidation of  $\alpha,\beta$ -unsaturated

morpholinyl amides: application to natural product

synthesis

AUTHOR(S): Tosaki, Shin-ya; Horiuchi, Yoshihiro; Nemoto,

Tetsuhiro; Ohshima, Takashi; Shibasaki, Masakatsu

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The

University of Tokyo, Tokyo, 113-0033, Japan Chemistry--A European Journal (2004), 10(6),

SOURCE: 1527-1544

PUBLISHER:

CODEN: CEUJED; ISSN: 0947-6539 Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:6961

IT 502690-42-8P 502690-43-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

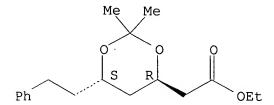
(asym. and diastereoselective syntheses of all stereoisomers of 1,3-polyols via Sm-(S)-BINOL-Ph3AsO-catalyzed epoxidn. of morpholinyl amides and application to natural product synthesis

RN 502690-42-8 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 2,2-dimethyl-6-(2-phenylethyl)-, ethyl ester,

(4R, 6S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 502690-43-9 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 2,2-dimethyl-6-(2-phenylethyl)-, ethyl ester,

(4S,6S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

GI

AB We describe a new strategy for enantio- and diastereoselective syntheses of all possible stereoisomers of 1,3-polyol arrays. This strategy relies on a highly catalyst-controlled epoxidn. of  $\alpha,\beta$ -unsatd. morpholinyl amides, e.g. I, promoted by the Sm-BINOL-Ph3As=O (1:1:1) complex, followed by a conversion of morpholinyl

amides into ketones and diastereoselective ketone reduction Highly enantio-

(up to >99% ee) or diastereoselective (up to >99.5:0.5) epoxidn. was achieved using 5-10 mol% of the Sm complex to afford synthetically very useful, nearly optically pure  $\alpha,\beta$ -epoxy morpholinyl amides.

Stereoselectivity of the epoxidn. was controlled by the chirality of BINOL

with overwhelming inherent diastereofacial preference for the substrate.

Combination with the syn- and anti-selective ketone reduction with the highly

catalyst-controlled epoxidn. allowed for an iterative strategy for the syntheses of all possible stereoisomers of 1,3-polyol arrays. Eight possible stereoisomers of 1,3,5,7-tetraol arrays were synthesized with high to excellent stereoselectivity. Moreover, the efficiency of the present strategy was successfully demonstrated by enantioselective syntheses of several 1,3-polyol/ $\alpha$ -pyrone natural products, for example, cryptocaryolone diacetate.

REFERENCE COUNT:

THERE ARE 129 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE

**FORMAT** 

129

L10 ANSWER 17 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2003:511315 CAPLUS

DOCUMENT NUMBER: 139:85359

TITLE: Process for the preparation of optically active 2-[6-(substituted

alkyl)-1,3-dioxan-4-yl]acetates from chiral

epoxybutanoates and vinylmagnesium bromide or

chloride.

INVENTOR(S): Lee, Inhee; Lee, Seungjoo

PATENT ASSIGNEE(S): Choongwae Pharma Corporation, S. Korea

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
WO 2003053950						A1	_	2003	0703	,						2	0020	813
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	ΚZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜŹ,	NO,	NZ,	OM,	PH,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
			UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,
									GW,									
	KR	2003	0509	40		Α		2003	0625	]	KR 2	001-	8165	9		2	0011	220
	ΑU	2002	3255	70		A1		2003	0709	7	AU 2	002-	3255	70		2	0020	813
		2005							0630			003-					0020	813
	US	2005	1487	84		A1		2005	0707	1	US 2	003-	5000:	23		2	0020	313
PRIOF	RIT	APP:	LN.	INFO	.:					]	KR 2	001-	8165	9	7	A 2	0011	220
								,		1	WO 2	002-1	KR15	40	1	w 2	0020	813

OTHER SOURCE(S): CASREACT 139:85359; MARPAT 139:85359

IT 154026-95-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of optically active dioxanacetates from chiral epoxybutanoates and vinylmagnesium bromide or chloride)

RN 154026-95-6 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester, acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 125971-94-0 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125995-13-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-,

1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME) Absolute stereochemistry. Rotation (+).

GΙ

$$R^3$$
 $CO_2R^1$ 
 $CO_2R^1$ 

AB Title compds. [I; R1 = H, alkyl, aryl, alkylaryl; R2, R3 = alkyl, Ph; R2R3 = atoms to form a 6-membered ring; R4 = OH, amino, alkylamino, N3,

cyano,
halo, aryloxy, alkoxy, arylalkyloxy, alkyl, alkenyl, aryl, aminomethyl,
etc.], were prepared via (a) reacting an epoxide (II) with

vinylmagnesium bromide/chloride to produce a 3-hydroxy compound (III);

(b)

protecting the OH group by reaction with a dialkyl dicarbonate such as di-tert-Bu dicarbonate to produce (IV); (c) cyclization of IV by a iodolactone-forming reaction to produce (V); (d) treating V with a weak base such as K2CO3 or Na2CO3 to produce (VI); (e) producing a 1,3-diol compound of formula (VII) by a ring opening reaction of VI with

in the presence of a metal catalyst and a phase transfer catalyst; (f) treating VII with an acetylating agent or a ketalizing agent in the presence of an acid catalyst and if necessary, exchanging the R4 group (all variables as above).

REFERENCE COUNT:

nucleophiles

THERE ARE 4 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

#### **FORMAT**

L10 ANSWER 18 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

2003:42238 CAPLUS

DOCUMENT NUMBER:

138:106243

TITLE:

Process for the preparation of

nitrile compounds by cyanation of optionally

protected

dihydroxy alkanesulfonates in the presence of

phase transfer catalysts,

and its application to the preparation of an

atorvastatin intermediate.

INVENTOR(S):

Blacker, Andrew John; Houson, Ian Nicholas; Wiffen,

Jonathan William

PATENT ASSIGNEE(S):

Avecia Limited, UK

SOURCE:

PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.						DATE				
	2003 2003				A2 A3		2003 2003		1	WO 2002-GB2964						20020627			
•	W:						AU,		BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
							DK,												
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
							MD,												
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
							YU,					•							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,		
		GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,		
		GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG									
CA	2452	683			AA		2003	0116	(	CA 2	002-	2452	683		2	0020	627		

EP 1404646 A2 20040407 EP 2002-740915 20020627 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR CN 1522242 Α 20040818 CN 2002-813106 20020627 JP 2004533483 JP 2003-510427 T2 20041104 20020627 US 2004254391 A1 20041216 US 2004-482381 20040719 PRIORITY APPLN. INFO.: GB 2001-16212 20010703 WO 2002-GB2964 20020627

OTHER SOURCE(S): CASREACT 138:106243; MARPAT 138:106243

IT 135054-68-1P, (6S-Methanesulfonyloxymethyl-2,2-dimethyl[1,3]dioxan-4R-yl)-acetic acid tert-butyl ester 477873-79-3P,
(6S-Trifluoromethanesulfonyloxymethyl-2,2-dimethyl-[1,3]dioxan-4Ryl)acetic acid tert-butyl ester 485817-64-9P,

RN 135054-68-1 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester, methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477873-79-3 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester, trifluoromethanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

485817-64-9 CAPLUS RN

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-0-(1-methylethylidene)-, 1,1-dimethylethyl ester, fluoromethanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

485817-65-0 CAPLUS RN

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester, difluoromethanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

124655-09-0, (6S-Hydroxymethyl-2,2-dimethyl-[1,3]dioxan-4R-IT

yl)acetic acid tert-butyl ester

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; improved preparation of nitriles by mesylation of alcs. and cyanation of mesylates using phase

transfer catalysts for preparation of key

atorvastatin intermediate)

124655-09-0 CAPLUS RN

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 125971-94-0P, (6R-Cyanomethyl-2,2-dimethyl-[1,3]dioxan-4R-yl)acetic acid tert-butyl ester

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(target intermediate; improved preparation of nitriles by mesylation of alcs. and cyanation of mesylates using phase transfer catalysts for preparation of key atorvastatin intermediate)

RN 125971-94-0 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB A process is provided for the preparation of a nitrile I by

(a) reaction of an alc. II, in a solvent and in the presence of a base, with a sulfonylating agent R4SO2X, to give an alkanesulfonate III, and (b)

reaction of the latter with a cyanide source in the presence of a phase-transfer catalyst [wherein: R1 = H, optionally substituted acyl, alkyl, aryl, or heteroaryl; R2, R3 = H, hydroxy protecting group; R4 = optionally substituted alkyl, aryl, or heteroaryl; X = halogen]. The process is particularly applicable to the preparation of the well-known hypolipidemic and hypocholesterolemic agent atorvastatin. Previous processes for cyanation of similar sulfonate esters containing a 1,3-dioxane ring are extremely slow and potentially involve unstable intermediates, both of which are potentially limiting to com. application. For example, the alc.

IV [R = OH] was treated with MeSO2Cl and Et3N in PhMe at  $22\pm6^{\circ}$  and stirred for 1 h to give 92-95% IV [R = OSO2Me]. This mesylate reacted

with KCN in an aqueous slurry in the presence of dicyclohexano-18-crown-6 (

phase-transfer catalyst) at 35-80°

until complete (24 h, 80% reaction yield by GLC). Extraction into PhMe,

filtration through Fuller's earth to decolorize the product and remove
 catalyst, evaporation, and recrystn. from hexane, gave crystalline IV
[R = cyano] in

60% yield. A similar invention run in a borate buffer took over 65 h and

gave a slightly lower yield. In contrast, a comparison cyanation using NaCN in DMSO at  $45^{\circ}$  for 192 h gave powdered I [R = cyano] after recrystn. in only 51% isolated yield.

L10 ANSWER 19 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

2003:509915 CAPLUS

DOCUMENT NUMBER:

139:69272

TITLE:

Esterification process using phase-transfer

phosphium compound catalysts for the

preparation of 2-(6-substituted-1,3-dioxane-4-

yl) acetic acid derivatives

INVENTOR(S):

Hof, Robert Patrick

PATENT ASSIGNEE(S):

DSM N.V., Neth.

SOURCE:

Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.						APPLICATION NO.						DATE				
EP	1323	717								EP 2	2001-	 794			2	0011	 227
	R:							-	-	-	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		-					RO,	-	-								
	2470										2002-						
WO	2003	0599	01		A1		2003	0724		WO 2	2002-	NL87	6		2	0021	209
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
•		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
•		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
AU	2002	3590	93								2002-						
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EP	1461	331			В1		2006	0308			•						
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
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PRIORIT	Y APP	LN.	INFO	.:						EP 2	2001-	794			A 2	0011	227
										WO 2	2002-	NL87	6		W 2	0021	209
											<del>-</del>		-		_		

OTHER SOURCE(S): CASREACT 139:69272; MARPAT 139:69272

154026-94-5

RL: RCT (Reactant); RACT (Reactant or reagent) (esterification process using phase-transfer phosphium compound catalysts for the preparation of 2-(6-substituted-1,3-dioxane-4yl) acetic acid derivs.)

RN 154026-94-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(chloromethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 154026-95-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (esterification process using phase-transfer phosphium compound catalysts for the preparation of 2-(6-substituted-1,3-dioxane-4-yl) acetic acid derivs.)

RN 154026-95-6 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester, acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB 2-(6-Substituted-1,3-dioxane-4-yl) acetic acid derivs. [I; R1-R3 = C1-4 alkyl; R1R2 = 5- or 6-member cycloalkyl ring; Y = R4CO, R5SO2; R4, R5 = alkyl, aryl; e.g., tert-Bu 2-[(4R,6S)-2,2-dimethyl-6- [(methylcarbonyloxy)methyl]-1,3-dioxan-4-yl]acetate] are prepared

in high yield and selectivity by the reaction of an oxylation agent (OY) nM

(M = Group IA metal, Group IIA metal; n = 1, 2; e.g., potassium acetate)

with the corresponding halogen-containing substrate [II; X = halogen; e.g.,

tert-Bu

2-[(4R,6S)-6-(chloromethyl)-2,2-dimethyl-1,3-dioxan-4-yl]acetate] in the presence of a phosphonium salt phase-transfer

catalyst (e.g., tetrabutylphosphonium bromide).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

L10 ANSWER 22 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:625703 CAPLUS

DOCUMENT NUMBER:

143:78192

TITLE:

Process for preparing tert-butyl

yl]acetate and intermediates for its

preparation

INVENTOR(S):

Radl, Stanislav

PATENT ASSIGNEE(S):

Leciva, A. S., Czech Rep.

SOURCE:

Czech Rep., 10 pp.

CODEN: CZXXED

DOCUMENT TYPE:

Patent

LANGUAGE:

Czech

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CZ 292221	В6	20030813	CZ 2001-2431	20010629
PRIORITY APPLN. INFO.:			CZ 2001-2431	20010629

IT 619261-21-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for preparing tert-Bu (4R,6R)-[6-(2-

aminoethyl)-2,2-dimethyl[1,3]dioxan-4-yl]acetate and intermediates

for

its preparation)

RN 619261-21-1 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 2,2-dimethyl-6-(2-nitroethyl)-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 125995-13-3P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for preparing tert-Bu (4R, 6R)-[6-(2-

aminoethyl)-2,2-dimethyl[1,3]dioxan-4-yl]acetate and intermediates

for

its preparation)

RN 125995-13-3 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(2-aminoethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

GΙ

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to a process for preparing tert-Bu (4R,6R)-[6-(2-aminoethyl)-2,2-dimethyl[1,3]dioxan-4-yl]acetate (I), an intermediate for synthesis of atorvastatin, which comprises (a) reacting tert-Bu

(4R, 6S) - (6-formyl-2, 2-dimethyl[1, 3]dioxan-4-

yl)acetate (II) with nitromethane in a suitable solvent, preferably in a

lower alc., under catalysis, preferably KF, (b) reacting tert-Bu [6-(1-hydroxy-2-nitroethyl)-2,2-dimethyl[1,3]dioxan-4-yl]acetate (III) with an carboxylic acid anhydride, (c) reducing the obtained tert-Bu <math>[6-(1-acyloxy-2-nitroethyl)-2,2-dimethyl[1,3]dioxan-4-yl]acetate IV [R]

alkyl] with NaBH4 in a suitable medium, such as aqueous medium, water-alc.

medium or alc. medium, and (d) subjecting the obtained tert-Bu [2,2-dimethyl-6-(2-nitroethyl)[1,3]dioxan-4-yl]acetate (V) to hydrogenation under catalysts such as Raney nickel, palladium, or platinum in suitable solvents such as lower alcs., to obtain (4R,6R)-I.

L10 ANSWER 24 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:72081 CAPLUS

DOCUMENT NUMBER: 136:118454

TITLE: Preparation of 2-(6-substituted-1,3-dioxane-

4-yl)acetates

INVENTOR(S): Kooistra, Jacob Hermanus Mattheus Hero; Zeegers,

Hubertus Josephus Marie; Mink, Daniel; Mulders,

Joannes Maria Cornelis Antonius

PATENT ASSIGNEE(S): DSM N.V., Neth.

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

# PATENT INFORMATION:

PATEN'	Г NO.	KIN		APPLICATION NO.	DATE			
WO 20	: AE, AG, CO, CR, GM, HR, LS, LT,	AL, AM, CU, CZ, HU, ID, LU, LV, SD, SE,	20020124 AT, AU, AZ, DE, DK, DM, IL, IN, IS, MA, MD, MG, SG, SI, SK,	WO 2001-NL535 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SL, TJ, TM, TR, TT,	BZ, CA, CH, CN, GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, PL, PT,			
	DE, DK,	ES, FI,	FR, GB, GR,	SL, SZ, TZ, UG, ZW, IE, IT, LU, MC, NL, GW, ML, MR, NE, SN,	PT, SE, TR, BF,			
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BR 20 JP 20 NZ 52 EE 20 CN 16 RU 22 EP 17	: AT, BE, IE, SI, 01012535 04504315 3703 0300024 80363 66903 00854 : AT, BE, IF, FT	CH, DE, LT, LV, A T2 A A A C2 A1 CH, DE,	DK, ES, FR, FI, RO, MK, 20030701 20040212 20041015 20051012 20051227 20060913	GB, GR, IT, LI, LU, CY, AL, TR  BR 2001-12535  JP 2002-512170  NZ 2001-523703  EE 2003-24  CN 2005-10065744  RU 2003-104825  EP 2006-10837  GB, GR, IT, LI, LU,	NL, SE, MC, PT,  20010712 20010712 20010712 20010712 20010712 20010712 20010712 NL, SE, MC, PT,  20030103 20030117			
AU 20 PRIORITY A	06203127 PPLN. INFO	.: .:	20060810	AU 2006-203127 NL 2000-1015744 AU 2001-75830				
				CN 2001-812999	A3 20010712			
			Ť	EP 2001-953373 WO 2001-NL535	A3 20010712 W 20010712			
	•			US 2003-333351	A1 20030117			

OTHER SOURCE(S): CASREACT 136:118454; MARPAT 136:118454

154026-94-5P 154026-95-6P 391218-16-9P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-(6-substituted-1,3-dioxane-4-yl)acetates)

RN 154026-94-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(chloromethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 154026-95-6 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester, acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 391218-16-9 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(chloromethyl)-2,2-dimethyl-, methyl ester,

(4R, 6S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 124655-09-0P

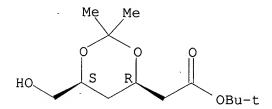
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-(6-substituted-1,3-dioxane-4-yl)acetates)

RN 124655-09-0 CAPLUS

CN D-erythro-Hexonic acid, 2,4-dideoxy-3,5-O-(1-methylethylidene)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB RCH2CH(OR4)CH2CH(OR5)CH2CO2R3 (R = leaving group; R4R5 = CR1R2; R1-R3 = C1-3 alkyl) were prepared by treating RCH2CH(OR4)CH2CH(OH)CH2CO2R3 (R3R4 = bond) with an acetalization agent and an acid catalyst.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

2.5 h to give 82% oxydiphthalic acid.

L10 ANSWER 37 OF 90 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:723026 CAPLUS

DOCUMENT NUMBER:

131:310642

TITLE:

Process for producing 6-cyanomethyl-1,3-

dioxane-4-acetic acid derivatives

INVENTOR(S):

Mitsuda, Masaru; Miyazaki, Makoto; Inoue, Kenji

PATENT ASSIGNEE(S):

Kaneka Corp., Japan

SOURCE:

PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

1

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9957109	A1 19991111	WO 1999-JP2272	19990428
W: CA, CN, HU, RW: AT, BE, CH,		FI, FR, GB, GR, IE, IT,	T.U. MC. NI.
PT, SE	,,,,	11, 11, 02, 01, 12, 11,	20, 110, 112,
CA 2329893	AA 19991111	CA 1999-2329893	19990428
EP 1077212	A1 20010221	EP 1999-917207	19990428
EP 1077212	B1 20030820		
R: BE, CH, DE,	ES. FR. GB. IT.	T.T. NI. TE	

ES 2207202 T3 20040516 ES 1999-917207 19990428 US 6344569 B1 20020205 US 2001-674178 20010102 PRIORITY APPLN. INFO.: JP 1998-121135 A 19980430 WO 1999-JP2272 W 19990428

OTHER SOURCE(S): CASREACT 131:310642; MARPAT 131:310642

IT 125971-94-0P 247592-53-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 6-cyanomethyl-1,3-dioxane-4-acetic acid derivs. as intermediate for HMG CoA reductase inhibitor atorvastatin)

RN 125971-94-0 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 247592-53-6 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(cyanomethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \hline \\ \text{O} & \text{O} \\ \text{O} & \text{O} \\ \text{CH}_2 - \text{C} - \text{OBu-t} \\ \end{array}$$

IT 154026-94-5P 247592-52-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of 6-cyanomethyl-1,3-dioxane-4-acetic acid derivs. as intermediate for HMG CoA reductase inhibitor atorvastatin)

RN 154026-94-5. CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(chloromethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester, (4R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 247592-52-5 CAPLUS

CN 1,3-Dioxane-4-acetic acid, 6-(chloromethyl)-2,2-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GI

AB A process whereby 6-cyanomethyl-1,3-dioxane-4-acetic acid derivs. I (R1, R2, R3 = H, alkyl, aryl, aralkyl) which are important intermediates of an HMG CoA reductase inhibitor atorvastatin, can be industrially, easily and efficiently produced. This process comprises starting with a 3,5-dihydroxy-6-halohexane derivative,

treating it

with a cyanation agent to thereby substitute the halogen atom with the cyano group and forming an acetal of a diol by using an acetal-forming agent in the presence of an acid catalyst. Thus,

1,1-dimethylethyl (4R,6S)-6-chloromethyl-2,2-dimethyl-1,3-dioxane-4-acetate was prepared in 2 steps from 1,1-dimethylethyl

(3R,5S)-6-chloro-3,5-dihydroxyhexanoate.

REFERENCE COUNT:

THERE ARE 43 CITED REFERENCES AVAILABLE FOR

THIS

# RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY 358.82	TOTAL SESSION 556.29
FULL ESTIMATED COST	SINCE FILE	TOTAL
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	ENTRY -67.50	SESSION -67.50
CA SUBSCRIBER PRICE	2006	

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